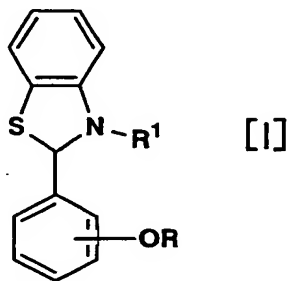


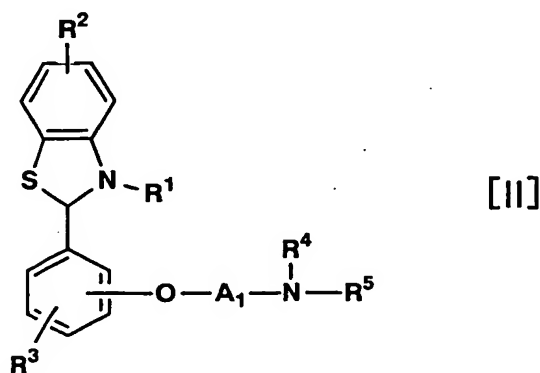
## Claims

1. A  $\kappa$  opioid receptor agonist comprising a compound having a chemical structure represented by the following general formula [I] as a basic skeleton or a salt thereof,



wherein R is alkyl having an amino group as a substituent; and R¹ is acyl.

2. A  $\kappa$  opioid receptor agonist comprising a compound represented by the following general formula [II] or a salt thereof,



wherein R¹ is acyl;

R² and R³, the same or different, are hydrogen, halogen, alkyl, cycloalkyl, aryl, hydroxyl or esters thereof, alkoxy, aryloxy, carboxyl or esters thereof, alkylcarbonyl, arylcarbonyl, amino, alkylamino,

arylamino, cyano or nitro, wherein the alkyl, cycloalkyl, aryl, alkoxy, aryloxy, alkylcarbonyl, arylcarbonyl, alkylamino or arylamino can be substituted by halogen, alkyl, cycloalkyl, aryl, hydroxyl or an ester thereof, alkoxy, aryloxy, carboxyl or an ester thereof, alkylcarbonyl, arylcarbonyl, amino, alkylamino, arylamino, cyano or nitro;

R<sup>4</sup> and R<sup>5</sup>, the same or different, are hydrogen, alkyl, cycloalkyl, aryl, hydroxyl or esters thereof, alkoxy, aryloxy or acyl, wherein the alkyl, cycloalkyl, aryl, alkoxy, aryloxy or acyl can be substituted by halogen, alkyl, cycloalkyl, aryl, hydroxyl or an ester thereof, alkoxy, aryloxy, carboxyl or an ester thereof, alkylcarbonyl, arylcarbonyl, amino, alkylamino, arylamino, mercapto, alkylthio, arylthio, cyano, nitro or a heterocycle, and further the alkyl, cycloalkyl, aryl, alkoxy, aryloxy, alkylcarbonyl, arylcarbonyl, alkylamino, arylamino, alkylthio, arylthio or heterocycle can be substituted by aryl, hydroxyl or an ester thereof, alkoxy, aryloxy, alkoxyalkoxy or carboxyl or an ester thereof;

R<sup>4</sup> and R<sup>5</sup> can be bonded each other to form a heterocycle, the heterocycle can be substituted by halogen, alkyl, cycloalkyl, aryl, hydroxyl or an ester thereof, alkoxy, aryloxy or carboxyl or an ester thereof, and further the alkyl, cycloalkyl, aryl, alkoxy or aryloxy can be substituted by aryl, hydroxyl or an ester thereof, alkoxy, aryloxy, alkoxyalkoxy or carboxyl or an ester thereof; and

A<sub>1</sub> is alkylene.

3. The  $\kappa$  opioid receptor agonist as claimed in claim 2, which comprises a compound or a salt thereof, wherein R<sup>2</sup> and R<sup>3</sup>, the same or

different, are hydrogen, halogen, alkyl or alkoxy, wherein the alkyl can be substituted by halogen in the general formula [II].

4. The  $\kappa$  opioid receptor agonist as claimed in claim 2, which comprises a compound or a salt thereof, wherein  $R^4$  and  $R^5$ , the same or different, are hydrogen, alkyl, cycloalkyl, hydroxyl or esters thereof or alkoxy, wherein the alkyl can be substituted by alkyl, cycloalkyl, aryl, hydroxyl or an ester thereof, alkoxy, carboxyl or an ester thereof, mercapto, alkylthio or a heterocycle, and further the alkyl or alkoxy can be substituted by hydroxyl or an ester thereof, alkoxy or alkoxyalkoxy in the general formula [II].

5. The  $\kappa$  opioid receptor agonist as claimed in claim 2, which comprises a compound or a salt thereof, wherein  $R^4$  and  $R^5$  can be bonded each other to form a pyrrolidine ring or a piperidine ring, wherein the pyrrolidine ring or piperidine ring can be substituted by alkyl, hydroxyl or an ester thereof, alkoxy or carboxyl or an ester thereof, and further the alkyl can be substituted by hydroxyl or an ester thereof or alkoxy in the general formula [II].

6. The  $\kappa$  opioid receptor agonist as claimed in claim 2, which comprises a compound or a salt thereof, wherein

$R^1$  is acyl,

$R^2$  is hydrogen, halogen or alkyl, wherein the alkyl can be substituted by halogen,

R<sup>3</sup> is halogen or alkoxy,

R<sup>4</sup> is hydrogen, alkyl or cycloalkyl, wherein the alkyl can be substituted by alkyl, cycloalkyl, aryl, hydroxyl or an ester thereof or alkoxy, and further the alkyl can be substituted by hydroxyl or an ester thereof or alkoxy,

R<sup>4</sup> and R<sup>5</sup> can be bonded each other to form a pyrrolidine ring or a piperidine ring, wherein the pyrrolidine ring or piperidine ring can be substituted by alkyl, hydroxyl or an ester thereof, alkoxy or carboxyl or an ester thereof, and further the alkyl can be substituted by hydroxyl or an ester thereof or alkoxy,

R<sup>5</sup> is alkyl, hydroxyl or an ester thereof or alkoxy, wherein the alkyl can be substituted by cycloalkyl, aryl, hydroxyl or an ester thereof, alkoxy, carboxyl or an ester thereof, mercapto, alkylthio or a heterocycle, and further the alkoxy can be substituted by hydroxyl or an ester thereof, alkoxy or alkoxyalkoxy, and

A<sub>1</sub> is alkylene in the general formula [II].

7. The  $\kappa$  opioid receptor agonist as claimed in claim 2, which comprises a compound or a salt thereof, wherein

R<sup>1</sup> is acyl,

R<sup>2</sup> is hydrogen, halogen or alkyl, wherein the alkyl can be substituted by halogen,

R<sup>3</sup> is halogen or alkoxy,

R<sup>4</sup> is alkyl or cycloalkyl, wherein the alkyl can be substituted by alkyl, cycloalkyl, aryl, hydroxyl or an ester thereof or alkoxy,

R<sup>4</sup> and R<sup>5</sup> can be bonded each other to form a pyrrolidine ring, wherein the pyrrolidine ring can be substituted by alkyl, hydroxyl or an ester thereof or alkoxy, and further the alkyl can be substituted by hydroxyl or an ester thereof or alkoxy,

R<sup>5</sup> is alkyl, hydroxyl or an ester thereof or alkoxy, wherein the alkyl can be substituted by hydroxyl or an ester thereof, alkoxy, mercapto or alkylthio, and further the alkoxy can be substituted by alkoxy or alkoxyalkoxy, and

A<sub>1</sub> is alkylene in the general formula [II].

8. A  $\kappa$  opioid receptor agonist comprising a compound or a salt thereof selected from the group consisting of

·3-Acetyl·6-chloro-2-[2-(3-(N-(2-hydroxyethyl)·N-isopropylamino)·propoxy)·5-methoxyphenyl]benzothiazoline

·3-Acetyl·6-chloro-2-[2-(3-(N-(2-hydroxyethyl)·N-(2-methylpropyl)·amino)propoxy)·5-methoxyphenyl]benzothiazoline

·3-Acetyl·6-chloro-2-[2-(3-((2S)-2-hydroxymethylazolan·1-yl)propoxy)·5-methoxyphenyl]benzothiazoline

·3-Acetyl·6-chloro-2-[2-(3-((3S)-hydroxyazolan·1-yl)propoxy)·5-methoxyphenyl]benzothiazoline

·3-Acetyl·6-chloro-2-[2-(3-(N-isopropyl·N-(2-methoxyethyl)amino)·propoxy)·5-methoxyphenyl]benzothiazoline

·3-Acetyl·6-chloro-2-[2-(3-(N-(2-ethoxyethyl)·N-isopropylamino)·propoxy)·5-methoxyphenyl]benzothiazoline

·3-Acetyl·6-chloro-2-[2-(3-(N-(furan-2-ylmethyl)·N-isopropylamino)·

propoxy)-5-methoxyphenyl]benzothiazoline

- 3-Acetyl-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)propoxy)-5-methoxyphenyl]-5-trifluoromethylbenzothiazoline
- 3-Acetyl-5-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-6-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-1-methylpropoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-2-[2-(3-(N-isopropyl-N-(2-methoxyethyl)amino)propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-methoxyethyl)amino)-propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(thiophen-2-ylmethyl)-amino)propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-(furan-2-ylmethyl)-N-isopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-ethyl-N-isopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N,N-diisopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-methylamino)propoxy)-5-methoxyphenyl]benzothiazoline

·(+)-3-Acetyl-6-chloro-2-[2-(3-(N-(hydroxyethyl)-N-methylamino)-  
 propoxy)-5-methoxyphenyl]benzothiazoline  
 ·(-)-3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-methoxyethyl)amino)-  
 propoxy)-5-methoxyphenyl]benzothiazoline  
 ·(-)-3-Acetyl-6-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-  
 propoxy)-5-methoxyphenyl]benzothiazoline  
 ·(-)-3-Acetyl-6-chloro-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)-  
 propoxy)-5-methoxyphenyl]benzothiazoline  
 ·(+)-3-Acetyl-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)propoxy)-5-  
 methoxyphenyl]benzothiazoline  
 ·(+)-3-Acetyl-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)propoxy)-  
 5-methoxyphenyl]benzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-methoxymethoxyethyl))-  
 amino)propoxy)-5-methoxyphenyl]benzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-(2-methoxyethoxy-  
 methoxy)ethyl)amino)propoxy)-5-methoxyphenyl]benzothiazoline  
 ·2-[2-(3-(N-(2-Acetoxyethyl)-N-isopropylamino)propoxy)-5-  
 methoxyphenyl]-3-acetyl-6-chlorobenzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-phenylcarboxyethyl)-  
 amino)propoxy)-5-methoxyphenyl]benzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-hydroxy-N-isopropylamino)propoxy)-5-  
 methoxyphenyl]benzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-cyclohexyl-N-(2-hydroxyethyl)amino)-  
 propoxy)-5-methoxyphenyl]benzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-ethyl-N-(2-hydroxyethyl)amino)propoxy)-

5-methoxyphenyl]benzothiazoline

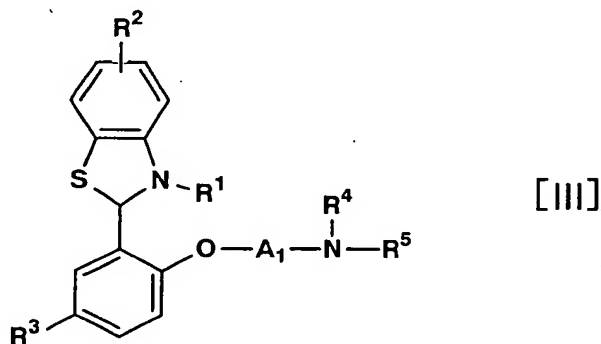
·3-Acetyl-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline and

·(+)-3-Acetyl-2-[2-(3-(N-isopropyl-N-(2-methoxyethyl)amino)propoxy)-5-methoxyphenyl]benzothiazoline.

9. An analgesic or an antipruritic comprising the  $\kappa$  opioid receptor agonist as claimed in claims 1 to 8 as active ingredient.

10. The analgesic as claimed in claim 9, wherein pain is derived from a rheumatic disease.

11. A compound or a salt thereof represented by the following general formula [III],



wherein  $R^1$  is acyl:

$R^2$  is hydrogen, halogen or alkyl, wherein the alkyl can be substituted by halogen;

$R^3$  is halogen or alkoxy;

$R^4$  is alkyl or cycloalkyl, wherein the alkyl can be substituted by



cycloalkyl, aryl, hydroxyl or an ester thereof or alkoxy;

R<sup>4</sup> and R<sup>5</sup> can be bonded each other to form a pyrrolidine ring substituted by hydroxyl or an ester thereof, alkoxy or alkoxyalkyl;

R<sup>5</sup> is hydroxyl or an ester thereof, alkoxy or -A<sub>2</sub>-R<sup>6</sup>;

R<sup>6</sup> is hydroxyl or an ester thereof, alkoxy, alkoxyalkoxy, alkoxyalkoxyalkoxy, mercapto or alkylthio; and

A<sub>1</sub> and A<sub>2</sub>, the same or different, are alkylene,

provided that when R<sup>4</sup> and R<sup>5</sup> are bonded each other to form the pyrrolidine ring substituted by hydroxyl or the ester thereof, R<sup>2</sup> is halogen, when R<sup>4</sup> and R<sup>5</sup> are bonded each other to form the pyrrolidine ring substituted by alkoxyalkyl, R<sup>2</sup> is hydrogen, when R<sup>6</sup> is hydroxyl or the ester thereof, R<sup>4</sup> is isopropyl.

12. The compound or a salt thereof as claimed in claim 11, wherein

R<sup>1</sup> is acyl,

R<sup>2</sup> is hydrogen,

R<sup>3</sup> is alkoxy,

R<sup>4</sup> is alkyl,

R<sup>4</sup> and R<sup>5</sup> can be bonded each other to form a pyrrolidine ring substituted by alkoxy or alkoxyalkyl,

R<sup>5</sup> is hydroxyl or an ester thereof, alkoxy or -A<sub>2</sub>-R<sup>6</sup>,

R<sup>6</sup> is alkoxy, alkoxyalkoxy or alkoxyalkoxyalkoxy, and

A<sub>1</sub> and A<sub>2</sub>, the same or different, are alkylene in the general formula [III].

13. The compound or a salt thereof as claimed in claim 11, wherein  
R<sup>1</sup> is acyl,  
R<sup>2</sup> is halogen,  
R<sup>3</sup> is alkoxy,  
R<sup>4</sup> is alkyl,  
R<sup>4</sup> and R<sup>5</sup> can be bonded each other to form a pyrrolidine substituted by hydroxyl or an ester thereof or alkoxy,  
R<sup>5</sup> is hydroxyl or an ester thereof, alkoxy or -A<sub>2</sub>-R<sup>6</sup>,  
R<sup>6</sup> is alkoxy, alkoxyalkoxy or alkoxyalkoxyalkoxy, and  
A<sub>1</sub> and A<sub>2</sub>, the same or different, are alkylene in the general formula [III].

14. The compound or a salt thereof as claimed in claim 11, wherein  
R<sup>1</sup> is acyl,  
R<sup>2</sup> is hydrogen or halogen,  
R<sup>3</sup> is alkoxy,  
R<sup>4</sup> is isopropyl,  
R<sup>5</sup> is -A<sub>2</sub>-R<sup>6</sup>,  
R<sup>6</sup> is hydroxyl or an ester thereof, and  
A<sub>1</sub> and A<sub>2</sub>, the same or different, are alkylene in the general formula [III].

15. A compound or a salt thereof selected from the group consisting of

- 3-Acetyl-6-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-6-chloro-2-[2-(3-(N-hydroxy-N-isopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-methoxyethyl)amino)-propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-6-chloro-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-5-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-6-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-1-methylpropoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-methoxyethyl)amino)-propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-(2-hydroxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-6-chloro-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)-propoxy)-5-methoxyphenyl]benzothiazoline
- (+)-3-Acetyl-2-[2-(3-(N-(2-ethoxyethyl)-N-isopropylamino)propoxy)-5-methoxyphenyl]benzothiazoline
- 3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-methoxymethoxy-

ethyl))amino)propoxy)-5-methoxyphenyl]benzothiazoline  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-(2-methoxyethoxy-  
 methoxy)ethyl)amino)propoxy)-5-methoxyphenyl]benzothiazoline  
 ·2-[2-(3-(N-(2-Acetoxyethyl)-N-isopropylamino)propoxy)-5-  
 methoxyphenyl]-3-acetyl-6-chlorobenzothiazoline and  
 ·3-Acetyl-6-chloro-2-[2-(3-(N-isopropyl-N-(2-phenylcarboxyethyl)-  
 amino)propoxy)-5-methoxyphenyl]benzothiazoline.